TITLE: Preparation of pyrazolotriazolopyrimidinamines as adenosine A2a receptor antagonists.

INVENTOR(S): Boyle, Craig D.; Chackalamannil, Samuel; Greenlee, William J.; Shah, Unmesh G.; Xia, Yan

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

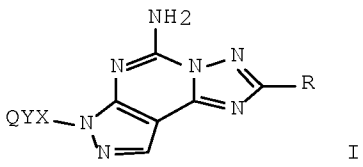
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048165	A1	20030612	WO 2002-US37710	20021126 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468649	A1	20030612	CA 2002-2468649	20021126 <--
AU 2002346503	A1	20030617	AU 2002-346503	20021126 <--
US 2003212059	A1	20031113	US 2002-304931	20021126 <--
US 6916811	B2	20050712		
EP 1448565	A1	20040825	EP 2002-784568	20021126 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004002018	A2	20050228	HU 2004-2018	20021126 <--
JP 2005511699	T	20050428	JP 2003-549355	20021126 <--
CN 1692116	A	20051102	CN 2002-823782	20021126 <--
ZA 2004004161	A	20050902	ZA 2004-461	20040527 <--
MX 2004PA05209	A	20040819	MX 2004-PA5209	20040531 <--
PRIORITY APPLN. INFO.:			US 2001-334342P P	20011130 <--
			WO 2002-US37710 W	20021126 <--

OTHER SOURCE(S): MARPAT 139:36540

GI



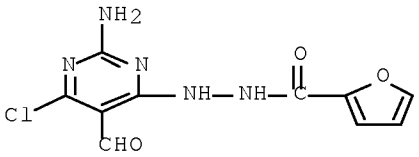
I

AB Title compds. [I; R = (substituted) furyl, thienyl, pyridyl, oxazolyl, pyrrolyl, aryl; X = (CH2)n; Y = piperidinyl, pyrrolidinyl, azepanyl fused to aryl or heteroaryl; Q = 1-4 of H, cycloalkyl, amino, aryl, aralkyl, heteroaryl, alkyl, CF3, cyano, halo, alkoxy, acyloxy, acylamino, OH, etc.; n = 1-4], were prepared Thus, title compound I (R = 2-furyl; X = CH2CH2; QY =

6,7-dimethoxy-3-methyl-1,2,3,4-tetrahydroisoquinolin-2-yl) showed Ki = 1.9 nM for A2a receptor binding activity.

IT 377729-80-1P 377729-81-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolotriazolopyrimidinamines as adenosine A2a receptor antagonists)

RN 377729-80-1 ZCAPLUS
CN 2-Furancarboxylic acid, 2-(2-amino-6-chloro-5-formyl-4-pyrimidinyl)hydrazide (CA INDEX NAME)



RN 377729-81-2 ZCAPLUS
CN 2-Furancarboxylic acid, 2-(6-amino-1H-pyrazolo[3,4-d]pyrimidin-4-yl)hydrazide (CA INDEX NAME)